

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 664595	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/JP2004/009488	International filing date (day/month/year) 29.06.2004	Priority date (day/month/year) 30.06.2003
International Patent Classification (IPC) or national classification and IPC		
Applicant TANABE SEIYAKU CO., LTD.		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>9</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>																									
<p>4. This report contains indications relating to the following items:</p> <table border="0"> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. I</td> <td>Basis of the report</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. II</td> <td>Priority</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. III</td> <td>Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. IV</td> <td>Lack of unity of invention</td> </tr> <tr> <td><input checked="" type="checkbox"/></td> <td>Box No. V</td> <td>Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. VI</td> <td>Certain documents cited</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. VII</td> <td>Certain defects in the international application</td> </tr> <tr> <td><input type="checkbox"/></td> <td>Box No. VIII</td> <td>Certain observations on the international application</td> </tr> </table>		<input checked="" type="checkbox"/>	Box No. I	Basis of the report	<input type="checkbox"/>	Box No. II	Priority	<input type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability	<input checked="" type="checkbox"/>	Box No. IV	Lack of unity of invention	<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement	<input type="checkbox"/>	Box No. VI	Certain documents cited	<input type="checkbox"/>	Box No. VII	Certain defects in the international application	<input type="checkbox"/>	Box No. VIII	Certain observations on the international application
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Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language _____ which is the language of a translation furnished for the purposes of:
- ☐ international search (Rule 12.3 and 23.1(b))
- ☐ publication of the international application (Rule 12.4)
- ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
- ☒ the international application as originally filed/furnished
- ☐ the description:
- pages _____ as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ the claims:
- nos. _____ as originally filed/furnished
- nos.* _____ as amended (together with any statement) under Article 19
- nos.* _____ received by this Authority on _____
- nos.* _____ received by this Authority on _____
- ☐ the drawings:
- sheets _____ as originally filed/furnished
- sheets* _____ received by this Authority on _____
- sheets* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages _____
- ☐ the claims, nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages _____
- ☐ the claims, nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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Box No. IV Lack of unity of invention

1. ☐ In response to the invitation to restrict or pay additional fees the applicant has:
 - ☐ restricted the claims.
 - ☐ paid additional fees.
 - ☐ paid additional fees under protest.
 - ☐ neither restricted the claims nor paid additional fees.
2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is:
 - ☐ complied with.
 - ☒ not complied with for the following reasons:

Invention 1: claim 1

Invention 2: claim 2

Invention 3: claim 3

Invention 4: claims 4, 9 and 10

Invention 5: claim 5

Invention 6: claim 6

Invention 7: claim 7

Invention 8: claim 8

Invention 1 pertains to a method for producing compounds represented by general formula [1], whereas Inventions 2 to 8 pertain to methods for producing compounds represented by general formulas with scopes that include the intermediate products of the abovementioned production method.

[Refer to the Supplemental Box]
4. Consequently, this report has been established in respect of the following parts of the international application:
 - ☒ all parts.
 - ☐ the parts relating to claims Nos. _____

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1, 7	YES
	Claims	2-6, 8-10	NO
Inventive step (IS)	Claims	1	YES
	Claims	2-10	NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

- Document 1: L. DJAKOVITCH et al., "Amination of aryl bromides catalyzed by supported palladium," Journal of Organometallic Chemistry, 1999, Vol. 592, No. 2, pages 225 to 234
- Document 2: WO 99/14191 A1 (Biocryst Pharmaceuticals, Inc.), 25 March 1999
- Document 3: JP 57-122062 (Nippon Chemiphar Co., Ltd.), 29 July 1982
- Document 4: K. U. INGOLD et al., "Cycloalkylmethyl radicals. Part 3. Dynamic stereochemistry of axial and equatorial cyclohexylmethyl and 4-alkylcyclohexylmethyl radicals," Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry, 1972 to 1999, (1986), No. 8, pages 1337 to 1344
- Document 5: JP 2001-039938 A (Tosoh Corp.), 13 February 2001
- Document 6: G. VITI et al., "Synthesis of new arylbenzofurodiazepin-6-ones," Journal of Heterocyclic Chemistry, 1990, Vol. 27, No. 5, pages 1369 to 1375
- Document 7: C. R. HARRISON et al., "Preparation of alkyl chlorides, acid chlorides, and amides using

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polymer-supported phosphines and carbon tetrachloride: mechanism of these reactions," Journal of Organic Chemistry, 1983, Vol. 48, No. 21, pages 3721 to 3728

Claim 2

Document 1 cited in the international search report presents the same reaction as is set forth in claim 2 of the present application (refer to page 226, scheme 1); therefore, the invention set forth in claim 2 lacks novelty and does not involve an inventive step in the light of document 1.

Claim 3

Document 2 cited in the international search report discloses the same reaction as is set forth in claim 3 of the present application (refer to page 14, scheme 1); therefore, the invention set forth in claim 3 lacks novelty and does not involve an inventive step in the light of document 2.

Claims 4, 9 and 10

Prior to the filing of the present application, it was common practice to convert a benzene ring into a cyclohexane by means of catalytic reduction; for example, document 3 cited in the international search report discloses a reaction for converting a p-amino benzoic acid into a 4-aminocyclohexane carboxylic acid by means of catalytic reduction (refer to page 3, example 1).

Therefore, the inventions set forth in claims 4, 9 and 10 lack novelty and do not involve an inventive step in the light of document 3.

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Claim 5

Document 4 cited in the international search report presents the same reaction as is set forth in claim 5 of the present application (refer to page 1343, right column); therefore, the invention set forth in claim 5 lacks novelty and does not involve an inventive step in the light of document 4.

Claim 6

Document 5 cited in the international search report discloses the same reaction as is set forth in claim 6 of the present application (refer to paragraphs [0033] to [0035] and example 1), and further indicates that it is possible to support a group VIII metal compound upon a support (refer to paragraph [0019]).

Therefore, the invention set forth in claim 6 lacks novelty and does not involve an inventive step in the light of document 5.

Claim 8

Document 6 cited in the international search report presents the same reaction as is set forth in claim 8 of the present application (refer to page 1369, scheme 1); therefore, the invention set forth in claim 8 lacks novelty and does not involve an inventive step in the light of document 6.

Claim 7

The invention set forth in claim 7 of the present application is not disclosed in documents 1 to 7 cited in the international search report; therefore, the invention

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in question is novel.

Document 6 presents compounds corresponding to the compounds represented by formula [XIV] set forth in claim 7 (refer to page 1369, schemes 1 and 2 a-f).

However, document 6 indicates that the compounds in question are synthesized by means of a different reaction from the reaction that is set forth in claim 7 of the present application. On the other hand, document 7 discloses a feature wherein a phenoxyacetanilide is synthesized by chlorinating a phenoxyacetic acid and then reacting the chlorinated phenoxyacetic acid with an aniline; therefore, it can be considered to have been easy for a person skilled in the art to conceive of synthesizing the abovementioned compounds by means of a similar reaction in the invention that is presented in document 6.

In addition, the effects that result therefrom cannot be considered to be significant.

Consequently, the invention set forth in claim 7 does not involve an inventive step in the light of documents 6 and 7.

Claim 1

The invention set forth in claim 1 of the present application is not disclosed in documents 1 to 7 cited in the international search report; therefore, the invention in question is novel.

The feature of synthesizing compounds that are represented by general formula [I] by reacting a compound represented by formula [XV] with a compound represented by formula [VIII] is not disclosed or suggested in any of the documents, and said feature would not have been

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obvious, even to a person skilled in the art. Therefore,
the invention set forth in claim 1 involves an inventive
step in relation to documents 1 to 7.

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Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of:

Box IV

However, in the light of the fact that the compounds represented by formula [XV] and the compounds represented by formula [VIII] are well known (refer to the belowmentioned documents and the like), the "special technical feature" of Invention 1 is considered to be the synthesis of compounds that are represented by general formula [1] by reacting a compound represented by formula [XV] with a compound represented by formula [VIII] in the final step, whereas the "special technical features" of Inventions 2 to 8 are considered to be the syntheses of specific compounds by means of specific reactions.

As a result, there is no technical relationship involving one or more of the same or corresponding special technical features among Inventions 1 to 8, and thus Inventions 1 to 8 cannot be considered to be so linked as to form a single general inventive concept.

Consequently, the claims of the present application can be considered to include the abovementioned eight unrelated inventions.

Citations:

WO 99/14191 A1 (Biocryst Pharmaceuticals, Inc.), 25 March 1999, in particular, refer to page 14, scheme 1

G. VITI et al., "Synthesis of new arylbenzofurodiazepin-6-ones," Journal of Heterocyclic Chemistry, 1990, Vol. 27, No. 5, pages 1369 to 1375, in particular, refer to page 1369, Scheme 1